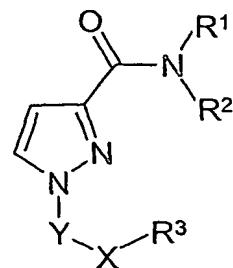


Claims

1. A compound of formula I,



5

wherein

either

- 10 R¹ represents an aryl group or a heteroaryl group, both of which are optionally substituted by one or more substituents selected from G¹ and B¹, which B¹ group may itself be further substituted by one or more substituents selected from G², Z (provided that Z is not directly attached to an aryl or a heteroaryl group) and B² (which B² group is optionally further substituted by one or more substituents selected from G³, B³ and Z, provided that Z is not attached to an aryl or a heteroaryl group); and
- 15 R² represents H or C₁₋₆ alkyl, which latter group is optionally substituted by one or more halo groups;
- or
- 20 when R² represents C₁₋₆ alkyl optionally substituted by halo, R¹ and R² may be linked together forming a further 5- to 7-membered ring, optionally containing 1 to 3 heteroatoms and/or 1 to 3 double bonds, which ring is itself optionally substituted by one or more substituents selected from G¹, Z (provided that the ring is not aromatic in nature) and B¹ (which B¹ group is optionally substituted as described above);
- 25

R³ represents C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₃₋₈ heterocycloalkyl, aryl or heteroaryl, all of which groups are optionally substituted by one or more substituents selected from G^{1a}, Z (provided that

5 Z is not directly attached to an aryl or a heteroaryl group) and B¹ (which B¹ group is optionally substituted as described above);

X represents a direct bond, -O- or -N(R⁴)-;

Y represents -C(O)-, -C(S)- or -S(O)₂-;

10

B¹, B² and B³ independently represent, on each occasion when used above, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₃₋₈ heterocycloalkyl, aryl or heteroaryl;

15 G¹, G^{1a}, G² and G³ independently represent, on each occasion when used above, halo, cyano, -N₃, -NO₂, -ONO₂ or -A¹-R⁴;

wherein A¹ represents a spacer group selected from -C(Z)A²-, -N(R⁵)A³-, -OA⁴-, -S- or -S(O)_nA⁵-, in which:

A² represents a single bond, -O-, -S- or -N(R⁵)-;

20 A³ represents A⁶, -C(Z)N(R⁵)C(Z)N(R⁵)-, -C(Z)N(R⁵)C(Z)O-, -C(Z)N(R⁵)S(O)_nN(R⁵)-, -C(Z)S-, -S(O)_n-, -S(O)_nN(R⁵)C(Z)N(R⁵)-, -S(O)_nN(R⁵)C(Z)O-, -S(O)_nN(R⁵)S(O)_nN(R⁵)-, -C(Z)O-, -S(O)_nN(R⁵)- or -S(O)_nO-;

A⁴ represents A⁶, -S(O)_n-, -C(Z)O-, -S(O)_nN(R⁵)- or -S(O)_nO-;

25 A⁵ represents a single bond, -N(R⁵)- or -O-;

A⁶ represents a single bond, -C(Z)- or -C(Z)N(R⁵)-;

Z represents, on each occasion when used above, a substituent connected by a double bond, which is selected from =O, =S, =NR⁴, =NN(R⁴)(R⁵), =NOR⁴, =NS(O)₂N(R⁴)(R⁵), =NCN, =CHNO₂ and =C(R⁴)(R⁵); .

5 R⁴ and R⁵ independently represent, on each occasion when used above, H or B⁴, which B⁴ group is itself optionally substituted by one or more substituents selected from G⁴, Q (provided that Q is not directly attached to an aryl or a heteroaryl group) and B⁵ (which B⁵ group is itself optionally substituted by one or more substituents selected from G⁵, Q (provided that
10 Q is not directly attached to an aryl or a heteroaryl group) and B⁶); or when R⁴ and R⁵ both represent optionally substituted B⁴ groups, then any pair thereof may, for example when present on the same atom or on adjacent atoms, be linked together to form, with those, or other relevant, atoms, a 5- to 7-membered ring, optionally containing 1 to 3 heteroatoms
15 and/or 1 to 3 double bonds, which ring is itself optionally substituted by one or more substituents selected from G⁶, Q (provided that the ring is not aromatic in nature) and B⁴ (which B⁴ group is optionally substituted as described above);

20 B⁴, B⁵ and B⁶ independently represent on each occasion when used above C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₃₋₈ heterocycloalkyl, aryl or heteroaryl;

25 G⁴, G⁵ and G⁶ independently represent on each occasion when used above, halo, cyano, N₃, -NO₂, -ONO₂ or -A⁷-R⁶;
wherein A⁷ represents a spacer group selected from -C(Q)A⁸-, -N(R⁷)A⁹-,
-N(R^{7a})A^{9a}-, -OA¹⁰-, -S- or -S(O)_nA¹¹-, in which:
A⁸ represents a single bond, -O-, -S- or -N(R⁷)-;
A⁹ represents A¹², -C(Q)S-, -S(O)_n-, -C(Q)O-, -S(O)_nN(R⁷)- or -S(O)_nO-;

A^{9a} represents -C(Q)N(R⁷)C(Q)N(R⁷)-, -C(Q)N(R⁷)C(Q)O-,
 -C(Q)N(R⁷)S(O)_nN(R⁷)-, -S(O)_nN(R⁷)C(Q)N(R⁷)-, -S(O)_nN(R⁷)C(Q)O-,
 -S(O)_nN(R⁷)S(O)_nN(R⁷)-;

A¹⁰ represents A¹², -S(O)_n-, -C(Q)O-, -S(O)_nN(R⁷)- or -S(O)_nO-;

5 A¹¹ represents a single bond, -N(R⁷)- or -O-;

A¹² represents a single bond, -C(Q)- or -C(Q)N(R⁷)-;

Q represents, on each occasion when used above, a substituent connected by
 a double bond, which is selected from =O, =S, =NR⁶, =NN(R⁶)(R⁷),
 10 =NOR⁶, =NS(O)₂N(R⁶)(R⁷), =NCN, =CHNO₂ and =C(R⁶)(R⁷);

R⁶, R⁷ and R^{7a} independently represent, on each occasion when used above,
 H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₃₋₈
 heterocycloalkyl, aryl or heteroaryl, which latter seven groups are
 15 optionally substituted by one or more groups selected from halo, C₁₋₆ alkyl
 (optionally substituted by one or more halo groups), -N(R⁸)R⁹, -OR⁸,
 -ONO₂ and -SR⁸; or

provided that they do not represent H, any pair of R⁶ and R⁷ may, for
 example when present on the same atom or on adjacent atoms, be linked
 20 together to form, with those, or other relevant, atoms, a 5- to 7-membered
 ring, optionally containing 1 to 3 heteroatoms and/or 1 to 3 double bonds,
 which ring is itself optionally substituted by one or more groups selected
 from halo, C₁₋₆ alkyl (optionally substituted by one or more halo groups),
 -N(R⁸)R⁹, -OR⁸, -ONO₂ and -SR⁸;

25

R⁸ and R⁹ independently represent, on each occasion when used above, H or
 C₁₋₆ alkyl, which latter group is optionally substituted by one or more halo
 groups; and

n represents, on each occasion when used above, 1 or 2;

or a pharmaceutically-acceptable salt thereof,

5 provided that, when R² represents H, Y represents -C(O)- and:

(A) X represents a direct bond and:

- i) R³ represents phenyl, then R¹ does not represent phenyl, 2-methoxyphenyl, 2-thiazolyl or 6-methyl-2-pyridinyl;
- ii) R³ represents 4-fluorophenyl, then R¹ does not represent 2-carbomethoxyphenyl, 3-carbomethoxyphenyl or 2,4-dimethylphenyl;
- iii) R³ represents 2-chlorophenyl, then R¹ does not represent phenyl, 3-bromophenyl or 4-bromophenyl;
- iv) R³ represents 3-chlorophenyl, then R¹ does not represent phenyl, 2-fluorophenyl, 2-chlorophenyl, 2,3-dichlorophenyl or 2,5-dichlorophenyl;
- v) R³ represents 4-chlorophenyl, then R¹ does not represent 3-bromophenyl or 4-methoxyphenyl;
- vi) R³ represents 3-iodophenyl, then R¹ does not represent 2-methoxyphenyl or 2,4-dimethylphenyl;
- vii) R³ represents 2,4-dichlorophenyl, then R¹ does not represent 4-chlorophenyl or 2,3-dichlorophenyl;
- viii) R³ represents 3,5-dinitrophenyl, then R¹ does not represent 2,3-dichlorophenyl;
- ix) R³ represents 2,4-dimethyl-6-oxo-6H-pyran-3-yl, then R¹ does not represent 3-carbomethoxyphenyl;
- x) R³ represents methyl, then R¹ does not represent 3,4-dichlorophenyl, 2-methoxyphenyl, 2-thiazolyl, 4-methyl-2-pyridinyl, 6-methyl-2-pyridinyl or 4-acetylphenyl;

xi) R^3 represents ethyl, then R^1 does not represent phenyl, 2,3-dichlorophenyl, 4-methoxyphenyl, 2-carbomethoxyphenyl, 2-thiazolyl or 4-methyl-2-pyridinyl;

(B) X represents $-N(H)-$ and:

5 i) R^3 represents phenyl, then R^1 does not represent 4-methoxyphenyl, 2,4-dimethylphenyl or 2-thiazolyl;

ii) R^3 represents 3-chlorophenyl, then R^1 does not represent 4-methylphenyl;

10 iii) R^3 represents 4-chlorophenyl, then R^1 does not represent 3-bromophenyl;

iv) R^3 represents 3,4-dichlorophenyl, then R^1 does not represent 4-methyl-2-pyridinyl or 6-methyl-2-pyridinyl;

15 v) R^3 represents 2'-sulfamoylbiphenyl-4-yl, then R^1 does not represent 5-bromo-2-pyridinyl;

vi) R^3 represents 1-propyl, then R^1 does not represent phenyl;

vii) R^3 represents 1-butyl, then R^1 does not represent 4-bromophenyl or 2,4-dimethylphenyl;

viii) R^3 represents cyclohexyl, then R^1 does not represent 4-methoxyphenyl;

20 (C) X represents $-O-$ and:

i) R^3 represents phenyl, then R^1 does not represent phenyl or 6-methyl-2-pyridinyl;

ii) R^3 represents methyl, then R^1 does not represent phenyl, 2-fluorophenyl, 2,4-dimethylphenyl, 4-acetylphenyl or 2-thiazolyl;

25 iii) R^3 represents ethyl, then R^1 does not represent phenyl, 2-fluorophenyl, 4-acetylphenyl or 4-methyl-2-pyridinyl;

- iv) R^3 represents 1-butyl, then R^1 does not represent 2-fluorophenyl, 2-methoxyphenyl, 4-methyl-2-pyridinyl or 6-methyl-2-pyridinyl;
- 5 v) R^3 represents 2-butyl, then R^1 does not represent 2-thiazolyl or 4-acetylphenyl;
- vi) R^3 represents 2-methyl-1-propyl, then R^1 does not represent phenyl or 3-nitrophenyl.

2. A compound as claimed in Claim 1, wherein R^1 represents an aryl or
10 heteroaryl group, both of which are optionally substituted as defined in
Claim 1.

15 3. A compound as claimed in Claim 1 or Claim 2, wherein G^1
represents halo, cyano or $-A^1-R^4$.

4. A compound as claimed in any one of the preceding claims, wherein
10 B^1 represents an optionally substituted C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl,
 C_{3-7} cycloalkyl, C_{4-7} heterocycloalkyl, or phenyl, group.

20 5. A compound as claimed in any one of the preceding claims, wherein
 G^{1a} represents halo, cyano, $-NO_2$ or $-A^1-R^4$.

6. A compound as claimed in any one of the preceding claims, wherein
25 G^2 represents halo, cyano, $-ONO_2$ or $-A^1-R^4$.

7. A compound as claimed in any one of the preceding claims, wherein
 B^2 represents C_{1-6} alkyl, C_{2-6} alkenyl or C_{2-6} alkynyl, all of which are
optionally substituted by one or more G^3 and/or B^3 groups.

8. A compound as claimed in any one of the preceding claims, wherein G³ represents halo, -ONO₂, -N(R⁵)(R⁴) or -OR⁴.

9. A compound as claimed in any one of the preceding claims, wherein
5 B³ represents C₁₋₆ alkyl, C₂₋₆ alkenyl or C₂₋₆ alkynyl.

10. A compound as claimed in any one of the preceding claims, wherein when A¹ represents -N(R⁵)A³-, A³ represents A⁶, -C(Z)S-, -S(O)_n-, -C(Z)O- or -S(O)_nN(R⁵)-.

10

11. A compound as claimed in any one of Claims 1 to 9, wherein when A¹ represents -OA⁴-, A⁴ represents A⁶.

15

12. A compound as claimed in any one of Claims 1 to 9, wherein when A¹ represents -S(O)_nA⁵-, A⁵ represents a single bond or -N(R⁵)-.

20

13. A compound as claimed in any one of Claims 1 to 9, wherein when A¹ represents -C(Z)A²-, A² represents a single bond, -O- or -N(R⁵)-.

25

14. A compound as claimed in any one of Claims 1 to 11 or 13 wherein A¹ represents -C(Z)A²-, -N(R⁵)A³- or -OA⁴-.

15. A compound as claimed in any one of the preceding claims, wherein

Z represents =O or =NR⁴.

16. A compound as claimed in any one of the preceding claims, wherein when any pair of R⁴ and R⁵ are linked together to form a ring, they are optionally substituted with G⁶ and/or B⁴.

17. A compound as claimed in any one of the preceding claims, wherein G⁴ represents halo, cyano, -ONO₂ or -A⁷-R⁶.

5 18. A compound as claimed in any one of the preceding claims, wherein B⁵ represents C₁₋₆ alkyl, C₂₋₆ alkenyl or C₂₋₆ alkynyl, all of which are optionally substituted by one or more G⁵ and/or B⁶ groups.

10 19. A compound as claimed in any one of the preceding claims, wherein G⁵ represents halo, -ONO₂, -N(R⁷)(R⁶) or -OR⁶.

20. A compound as claimed in any one of the preceding claims, wherein B⁶ represents C₁₋₆ alkyl, C₂₋₆ alkenyl or C₂₋₆ alkynyl.

15 21. A compound as claimed in any one of the preceding claims, wherein G⁶ represents halo, cyano or -A⁷-R⁶.

22. A compound as claimed in any one of the preceding claims, wherein A⁷ represents -C(Q)A⁸-, -N(R⁷)A⁹-, -OA¹⁰-, -S- or -S(O)_nA¹¹-.

20 23. A compound as claimed in any one of the preceding claims, wherein when A⁷ represents -N(R⁷)A⁹-, A⁹ represents A¹², -C(Q)S-, -S(O)_n-, -C(Q)O- or -S(O)_nN(R⁷)-.

25 24. A compound as claimed in any one of Claims 1 to 22, wherein when A⁷ represents -OA¹⁰-, A¹⁰ represents A¹².

25. A compound as claimed in any one of Claims 1 to 22, wherein when A⁷ represents -S(O)_nA¹¹-, A¹¹ represents a single bond or -N(R⁷)-.

26. A compound as claimed in any one of Claims 1 to 22, wherein when A⁷ represents -C(Q)A⁸-, A⁸ represents a single bond, -O- or -N(R⁷)-.

5 27. A compound as claimed in any one of the preceding claims, wherein Q represents =O or =NR⁶.

10 28. A compound as claimed in any one of the preceding claims, wherein R⁶, R⁷ and R^{7a} independently represent H, C₁₋₆ alkyl, C₂₋₆ alkenyl or C₂₋₆ alkynyl, all of which groups are optionally substituted by one or more groups selected from halo, C₁₋₆ alkyl, -N(R⁸)R⁹, OR⁸ and -ONO₂.

15 29. A compound as claimed in any one of Claims 1 to 27 wherein when any pair of R⁶ and R⁷ are linked together to form a ring, that ring is optionally substituted by one or more groups selected from halo, C₁₋₆ alkyl (optionally substituted by one or more halo groups), -N(R⁸)R⁹, -OR⁸ and -ONO₂.

20 30. A compound as claimed in any one of the preceding claims, wherein B⁴ represents an optionally substituted C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₇ cycloalkyl, C₄₋₇ heterocycloalkyl, or phenyl, group.

25 31. A compound as claimed in any one of the preceding claims wherein R⁴ and/or R⁵ independently represent H or C₁₋₆ alkyl, which latter group is optionally substituted by one or more fluoro groups.

32. A compound as claimed in any one of the preceding claims, wherein X represents a direct bond, -O-, -N(H)- or -N(Me)-.

33. A compound as claimed in any one of the preceding claims wherein R² represents H, methyl or ethyl.

34. A compound as claimed in any one of Claims 1, 32 or 33, wherein R¹ represents an optionally substituted phenyl, naphthyl, pyrrolidinyl, piperidinyl, pyrrolyl, furanyl, thiophenyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, pyridinyl, indazolyl, indolyl, indolinyl, isoindolinyl, oxindolyl, quinolinyl, 1,2,3,4-tetrahydroquinolinyl, isoquinolinyl, 1,2,3,4-tetrahydroisoquinolinyl, quinolizinyl, benzofuranyl, isobenzofuranyl, chromanyl, benzothiophenyl, pyridazinyl, pyrimidinyl, pyrazinyl, indazolyl, benzimidazolyl, quinazolinyl, quinoxalinyl, 1,3-benzodioxolyl, benzothiazolyl, or benzodioxanyl, group.

35. A compound as claimed in Claim 34, wherein R¹ represents optionally substituted phenyl, 2-pyridinyl, 3-pyridinyl, 2-thiophenyl, 4-pyrazolyl, 5-isoxazolyl, 1,3-benzodioxolyl, indazolyl, benzothiazolyl, or quinolinyl, group.

36. A compound as claimed in Claim 34 or Claim 35, wherein the optional substituent(s) are selected from halo, cyano, C₁₋₆ alkyl (which alkyl group may be linear or branched, and/or substituted by one or more fluoro and/or C₃₋₆ cycloalkyl groups), C₂₋₆ alkenyl, C₃₋₆ cycloalkyl, phenyl, pyrrolidinyl piperidinyl, piperazinyl, tetrahydrofuranyl, tetrahydropyranlyl, morpholinyl, thiomethyl, methylsulfinyl, methylsulfonyl, -OR¹⁰, -N(R¹⁰)R¹¹, -C(O)OR¹⁰, -C(O)R¹⁰, -C(O)N(R¹⁰)R¹¹, -S(O)₂N(R¹⁰)R¹¹ and -N(R¹⁰)S(O)₂R¹², wherein R¹⁰ and R¹¹ independently represent H, phenyl, C₁₋₆ alkyl (which alkyl group is optionally substituted by one or more fluoro atom), C₂₋₆ alkenyl or C₃₋₆ cycloalkyl; or R¹⁰ and R¹¹ may be linked together to form, with the nitrogen atom to which they are attached, a 5- to 7-

membered ring, optionally containing one additional heteroatom and optionally substituted with one or more C₁₋₆ alkyl groups, which alkyl groups are themselves optionally substituted by one or more halo groups; and R¹² represents phenyl, C₁₋₆ alkyl (which alkyl group is optionally substituted by one or more fluoro atom), C₂₋₆ alkenyl or C₃₋₆ cycloalkyl.

37. A compound as claimed in Claim 36, wherein the optional substituent(s) are selected from carbomethoxy, methyl, dimethylamino, cyano, chloro, fluoro, trifluoromethyl, bromo, methoxy and trifluoromethoxy.

38. A compound as claimed in any one of Claims 1 or 32 to 37, wherein R³ represents an optionally substituted C₁₋₆ alkyl, C₃₋₆ cycloalkyl, phenyl, naphthyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, furanyl, thiophenyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, pyridinyl, indazolyl, indolyl, indolinyl, isoindolinyl, oxindolyl, quinolinyl, 1,2,3,4-tetrahydroquinolinyl, isoquinolinyl, 1,2,3,4-tetrahydroisoquinolinyl, quinolizinyl, benzofuranyl, isobenzofuranyl, chromanyl, benzothiophenyl, pyridazinyl, pyrimidinyl, pyrazinyl, indazolyl, benzimidazolyl, quinazolinyl, quinoxalinyl, 1,3-benzodioxolyl, benzothiazolyl, or benzodioxanyl, group.

39. A compound as claimed in Claim 38, wherein R³ represents an optionally substituted C₁₋₆ alkyl, cyclohexyl, phenyl, 2-thiophenyl, 2-furanyl, 3-furanyl, 2-pyrrolyl, 1-naphthyl, 4-piperazinyl, 4-piperidinyl, benzofuranyl, or 1,3-benzodioxolyl, group.

40. A compound as claimed in Claim 38 or Claim 39, wherein the optional substituent(s) are selected from halo, -NO₂, cyano, C₁₋₆ alkyl

(which alkyl group may be linear or branched, and/or optionally substituted with one or more halo, C₁₋₆ alkyl, C₂₋₆ alkenyl and/or C₃₋₆ cycloalkyl, groups, which latter three groups are themselves optionally substituted with one or more halo and/or C₁₋₆ alkyl groups), C₂₋₆ alkenyl (optionally substituted with one or more C₁₋₆ alkyl groups), C₃₋₆ cycloalkyl (optionally substituted with one or more halo groups), phenyl (optionally substituted with one or more halo groups), pyrrolidinyl, piperidinyl, piperazinyl, tetrahydrofuranyl, tetrahydropyranyl, morpholinyl, thiomethyl, methylsulfinyl, methylsulfonyl, =O, -OR¹³, -N(R¹³)R¹⁴, -C(O)OR¹³, -C(O)R¹³, -C(O)N(R¹³)R¹⁴, -S(O)₂N(R¹³)R¹⁴ and -N(R¹³)S(O)₂R¹⁵, wherein R¹³ and R¹⁴ independently represent H, phenyl, C₁₋₆ alkyl (which alkyl group is optionally substituted by one or more fluoro atom), C₂₋₆ alkenyl or C₃₋₆ cycloalkyl; or R¹³ and R¹⁴ may be linked together to form, with the nitrogen atom to which they are attached, a 5- to 7-membered ring, 15 optionally containing one additional heteroatom and optionally substituted with one or more C₁₋₆ alkyl groups, which alkyl groups are themselves optionally substituted by one or more halo groups; and R¹⁵ represents phenyl, C₁₋₆ alkyl (which alkyl group is optionally substituted by one or more fluoro atom), C₂₋₆ alkenyl or C₃₋₆ cycloalkyl.

20

41. A compound as claimed in Claim 40, wherein the optional substituent(s) are selected from methyl, ethyl, ethoxy, trifluoromethyl, fluoro, chloro, iodo, phenyl, 2-chlorophenyl, 4-chlorophenyl, *n*-pentyl, *i*-propyl, nitro, *t*-butyl, -CH₂CH=CHC₈H₁₇, trifluoroacetyl, carbomethoxy, 25 carboethoxy and trifluoromethoxy.

42. A compound as claimed in any one of Claims 1 or 32 to 41, wherein R¹ is phenyl, 2-chlorophenyl, 2-chloro-4-fluorophenyl, 3-chloro-4-fluorophenyl, 2,6-dichlorophenyl, 5-chloro-2-cyanophenyl, 2-fluoro-5-

trifluoromethylphenyl, 2-bromo-4-trifluoromethoxyphenyl, 2-methoxy-6-methylphenyl, 3-cyanophenyl, 4-trifluoromethylphenyl, 4-dimethylaminophenyl, 4-carbomethoxyphenyl, 1,3,5-trimethyl-1*H*-pyrazol-4-yl, 3-methylisoxazol-5-yl, 3-pyridinyl, 2-chloro-3-pyridinyl, 3-methyl-2-pyridinyl, 3-carbomethoxythiophen-2-yl or 1,3-benzodioxolyl;

5 R² is hydrogen or methyl;

R³ is methyl, *n*-butyl, *n*-pentyl, 1-octyl, oleoyl, (1*R*,2*S*,5*R*)-(-)-menthyl, 2-chlorobenzyl, benzyl, phenyl, 3-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluoro-5-iodophenyl, 5-fluoro-2-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 4-nitrophenyl, 2-ethoxyphenyl, 1-naphthyl, 2-furanyl, 2,5-dimethyl-3-furanyl, 2-carbomethoxy-5-furanyl, 1-methyl-1*H*-pyrrol-2-yl, 3-methyl-2-benzofuranyl, 3-methyl-2-thiophenyl, 1(*N*)-methyl-4-piperazinyl, 1(*N*)-(2,2,2-trifluoroacetyl)piperidin-4-yl, ethylhexanoate or 1,3-benzodioxolyl;

10 15 Y is -C(O)-, -C(S)- or -S(O)₂-; and

X is a bond, -N(H)-, -N(Me)-, or -O-.

43. A compound of formula I as defined in any one of Claims 1 to 42, but without the provisos, or a pharmaceutically acceptable salt thereof, for 20 use as a pharmaceutical.

44. A pharmaceutical formulation including a compound of formula I, as defined in any one of Claims 1 to 42, but without the provisos, or a pharmaceutically acceptable salt thereof, in admixture with a 25 pharmaceutically acceptable adjuvant, diluent or carrier.

45. A use of a compound of formula I, as defined in any one of Claims 1 to 42, but without the provisos, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the treatment of a disease

in which inhibition of the activity of a lipoxygenase is desired and/or required.

46. A use as claimed in Claim 45 wherein the lipoxygenase is 15-
5 lipoxygenase.

47. A use as claimed in Claim 45 or Claim 46, wherein the disease is
inflammation and/or has an inflammatory component.

10 48. A use as claimed in Claim 47 wherein the inflammatory disease is
asthma, chronic obstructive pulmonary disease (COPD), pulmonary
fibrosis, an allergic disorder, rhinitis, inflammatory bowel disease, an ulcer,
inflammatory pain, fever, atherosclerosis, coronary artery disease,
vasculitis, pancreatitis, arthritis, osteoarthritis, rheumatoid arthritis,
15 conjunctivitis, iritis, scleritis, uveitis, a wound, dermatitis, eczema,
psoriasis, stroke, diabetes, autoimmune diseases, Alzheimer's disease,
multiple sclerosis, sarcoidosis, Hodgkin's disease or another malignancy.

49. A method of treatment of a disease in which inhibition of the activity
20 of a lipoxygenase is desired and/or required, which method comprises
administration of a therapeutically effective amount of a compound of
formula I as defined in any one of Claims 1 to 42, but without the provisos,
or a pharmaceutically-acceptable salt thereof, to a patient suffering from, or
susceptible to, such a condition.

25

50. A combination product comprising:

- (A) a compound of formula I as defined in any one of Claims 1 to 42, but
without the provisos; and
- (B) another therapeutic agent that is useful in the treatment of inflammation,

wherein each of components (A) and (B) is formulated in admixture with a pharmaceutically-acceptable adjuvant, diluent or carrier.

5 51. A combination product as claimed in Claim 50 which comprises a pharmaceutical formulation including a compound of formula I as defined in any one of Claims 1 to 42, but without the provisos, another therapeutic agent that is useful in the treatment of inflammation, and a pharmaceutically-acceptable adjuvant, diluent or carrier.

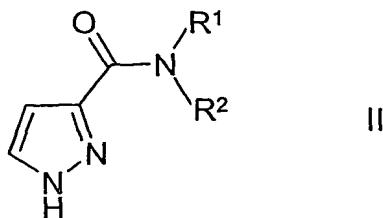
10 52. A combination product as claimed in Claim 50 which comprises a kit of parts comprising components:

- (a) a pharmaceutical formulation including a compound of formula I as defined in any one of Claims 1 to 42, but without the provisos, in admixture with a pharmaceutically-acceptable adjuvant, diluent or carrier; and
- (b) a pharmaceutical formulation including another therapeutic agent that is useful in the treatment of inflammation in admixture with a pharmaceutically-acceptable adjuvant, diluent or carrier,

15 which components (a) and (b) are each provided in a form that is suitable
20 for administration in conjunction with the other.

53. A process for the preparation of a compound as defined in Claim 1, which comprises:

25 (i) for compounds of formula I in which, when Y is $-S(O)_2-$, X represents a direct bond or $-N(R^4)-$, in which R^4 represents B^4 , reaction of a compound of formula II,



wherein R¹ and R² are as defined in Claim 1, with a compound of formula III,



5 wherein X^a represents a direct bond or -N(B⁴)- when Y represents -S(O)₂- or, for all other values of Y, represents X as defined in Claim 1, R³ and Y are as defined in Claim 1 and L¹ represents a suitable leaving group;

(ii) for compounds of formula I in which X represents a single bond and Y represents -C(O)-, reaction of a compound of formula II as defined above
10 with a compound of formula IV,



wherein R³ is as defined in Claim 1;

(iii) for compounds of formula I in which X represents a direct bond and Y represents a -C(O)- or a -C(S)- group, reaction of a compound of formula II as defined above with a compound of formula V,



wherein Y^a represents -C(O)- or -C(S)- and R³ is as defined in Claim 1;

(iv) for compounds of formula I, in which X represents -NH- and Y represents -C(O)- or -C(S)-, reaction of a compound of formula II as defined above with a compound of formula VI,

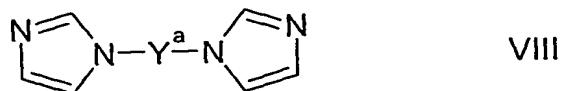


wherein R³ is as defined in Claim 1 and Y^a is as defined above;

(v) for compounds of formula I in which Y represents -C(O)- or -C(S)-, reaction of a compound of formula II as defined above with:
25 (a) a compound of formula VII,



(b) a compound of formula VIII,



wherein, in both cases, Y^a is as defined above; or

(c) when Y represents $-C(O)-$, triphosgene,

5 followed by:

(1) for compounds of formula I in which X represents a direct bond, reaction with a compound of formula IX,



wherein M represents a metal such as Mn, Fe, Ni, Cu, Zn, Pd or Ce, or a salt or complex thereof and R^3 is as defined in Claim 1;

(2) for compounds of formula I wherein X represents O, reaction with a compound of formula X,



wherein R^3 is as defined in Claim 1; or

15 (3) for compounds of formula I wherein X represents $-N(R^4)-$, reaction with a compound of formula XI,



wherein R^3 and R^4 are as defined in Claim 1;

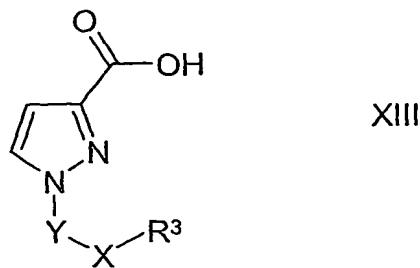
20 (vi) for compounds of formula I in which X represents $-N(R^4)-$ and R^4 is other than hydrogen, reaction of a corresponding compound of formula I in which X represents $-N(H)-$ with a compound of formula XII,



wherein R^4 is as defined in Claim 1 and L^1 is as defined above;

(vii) for compounds of formula I in which Y represents $-C(S)-$, reaction of a corresponding compound of formula I in which Y represents $-C(O)-$ with a suitable reagent for the conversion of a carbonyl group to a thiocarbonyl group;

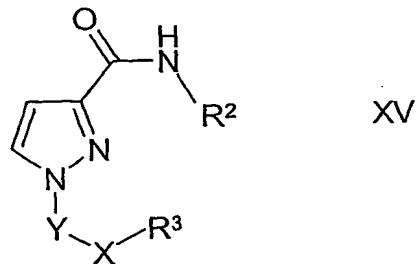
(viii) reaction of a compound of formula XIII,



wherein R^3 , Y and X are as defined in Claim 1, with a compound of formula XIV,



5 wherein R^1 and R^2 are as defined in Claim 1; or
 (ix) reaction of a compound of formula XV,



wherein R^2 , R^3 , Y and X are as defined in Claim 1, with a compound of formula XVI,



10 wherein L^2 represents a suitable leaving group and R^1 is as defined in Claim 1.